ABSTRACT

Selective MMP-13 inhibitors are fused pyrimidinones of the formula

$$R^{1}$$
 N
 R^{4}
 V

or a pharmaceutically acceptable salt thereof,

5 wherein:

W, together with the carbon atoms to which it is attached, form a 5-membered ring diradical

$$R^2$$
 $A \rightarrow B \rightarrow R^3$, R^2
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X is O, S, SO, SO₂, NR⁵, or CH₂;

B is O or NR^5 ; or

A and B are taken together to form -C≡C-;

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- R^1 , R^4 , and R^5 are hydrogen, alkyl, alkenyl, alkynyl, $(CH_2)_n$ aryl, $(CH_2)_n$ cycloalkyl, C_1 - C_6 alkanoyl, or $(CH_2)_n$ heteroaryl;
- R^2 and R^3 are hydrogen, alkyl, alkenyl, alkynyl CN, NO₂, NR⁴R⁵, (CH₂)_n cycloalkyl, (CH₂)_n aryl, or (CH₂)_n heteroaryl;
- 5 R² may further be halo;
 - n is an integer of from 0 to 5; and
 - R⁴ and R⁵ when taken together with a nitrogen to which they are both attached complete a 3- to 8-membered ring containing carbon atoms and optionally containing O, S, or N, and substituted or unsubstituted;
 - with the proviso that \mathbb{R}^1 and \mathbb{R}^3 are not both selected from hydrogen and \mathbb{C}_1 - \mathbb{C}_6 alkyl.